IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Application No.	: 10/625,934	Confirmation No.: 9470
Applicants	: Kenneth SETCHELL, et al.	
Filed	: July 24, 2003	
TC/A.U.	: 1626	
Examiner	: Susannah CHUNG	
Docket No.	: 3515-103	
Customer No.	: 6449	

RULE 132 DECLARATION OF RICHARD L. JACKSON

I, Richard L. Jackson, declare as follows:

1. I am President and CEO of Ausio Pharmaceuticals, LLC, Licensee of the subject patent application.

2. My education and experience, which are further detailed in the copy of my resume that is attached hereto as Exhibit A, are as follows. I received a B.S. degree in chemistry in 1963 and a Ph.D. degree in microbiology in 1967, both from the University of Illinois. In addition to my current position as President and CEO of Ausio Pharmaceuticals, LLC, I have held Senior Executive positions in various pharmaceutical companies since the mid-1980's. I also have held numerous academic positions, including fellowships, associate professorships, and full professorships. Furthermore, I have established research centers at the University of Cincinnati College of Medicine and at Baylor College of Medicine, and I have received various honors and awards over the course of my professional career. I also have authored or co-authored hundreds of publications, I am a named inventor on 10 issued patents, and I have served or currently serve on approximately two dozen National Advisory Committees and Boards of Directors.

3. I am familiar with the subject patent application, including the currently pending claims and the amended claims to be submitted with this Declaration. I am also familiar with the references cited in the November 2, 2007 Office Action, including that of Kelly, et al.

4. I understand that the claims to be submitted with this Declaration recite various compositions relating to enantiomeric equol, particularly the S-enantiomer (S-equol).

5. In my capacity at Ausio Pharmaceuticals, I directed that a pharmacological screening study be undertaken to evaluate and directly compare the biological activities of R-equol, S-equol, and racemic equol in a collection of biochemical assays. Specifically, each of R-equol, S-equol, and racemic equol was screened against a broad spectrum of receptor systems using standard radioligand binding assay methods adapted from the scientific literature. The study was conducted by an outside party, with no interest in the present application, hired by Ausio specifically for the purpose of conducting the study. Attached hereto are descriptions of the study and the results obtained for each of S-Equol (AUS-131—Exhibit B), R-Equol (AUS-132—Exhibit C), and Racemic Equol (AUS-133—Exhibit D). As indicated, reference standards were run as an integral part of each assay to ensure the validity of the results obtained. Percent inhibition results of the complete, broad spectrum of assays are reported.

6. I have reviewed the results of the study and, in my opinion, the results contain several examples of properties unexpectedly possessed by the enantiomeric forms of equol that are not possessed by the racemic mixture. Moreover, the overall results reveal that a commonly held position, namely that only one of the two enantiomers in a known active racemic

mixture is presumed active, is not universally applicable with respect to equol. As the data reveal, in some systems, the S-enantiomer is active while the R- is not. In others, the R-enantiomer is active while the S- is not. In some systems, both enantiomers and the racemate are active, and in one particular system, discussed more fully below, both the S- and R-enantiomers are similarly active, but the racemate is inactive. It is also seen that there is variability in activity between related receptor types.

7. The table below summarizes some of the more significant individual results obtained in the broad spectrum of studies, as referred to above.

In vitro Pharmacological Screening

Target	Percent Inhibition (at 10 uM)			Interpretation (re: higher values)
	S-Equol	R-Equol	Racemate	
ERα	92	93	94	Positive control
ERβ	98	94	98	Positive control
src Protein Tyrosine Kinase LCK	27	26	1	Oncology indication
Transcription Response Factor, NF-AT	5	32	19	Anti-inflammatory indication, potential MOA
G Protein-coupled Receptor 103	58	14	38	Bone sparing, satiety, CNS effects, inflammation
Monoamine Transporter	16	51	49	CNS, antidepressant
NE Transporter	57	37	50	Antidepressant
Dopamine Transporter	84	88	92	Anti-Parkinsonism

As is clear from the table, the approach of simply resolving a racemate into its separate enantiomers, determining which of the two isomers is the active form (and which is the inactive form), and consequently choosing to prepare a composition using the active form, would not appear to be effective with respect to equol. In my opinion, one could not reliably predict biological activity of the equol enantiomers when armed only with teachings concerning the racemic mixture.

8. The src Protein Tyrosine Kinase (LCK) data provides a clearly surprising and unexpected result. LCK is an important receptor kinase that regulates the growth of cells. When mutated, uncontrolled growth occurs. The studies here have shown that both S- and R-equol inhibit this activity approximately equally (27% and 26% respectively at 10 μM concentration). However, racemic equol, which of course contains both R- and S-equol, surprisingly does not inhibit the activity (1% inhibition at the same 10 μM concentration). This is a completely unexpected finding. Based on these results, therefore, racemic equol would likely be ineffective in inhibiting cancer growth, for example, but a composition containing the S-enantiomer, as claimed herein, would surprisingly be expected to show potential benefits.

9. The results obtained in the study referred to herein are surprising and would not be expected to be achieved based on the teachings in the various references noted in the November 2, 2007 Office Action, particularly Kelly, et al. Specifically, one could not assume enantiomeric equol compositions would be biologically active or otherwise useful based merely on teachings concerning racemic equol.

10. I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true, and further that these statements are made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

Signed: Richard L.

Richard L. Jackson, Ph.D.
President and CEO
Ausio Pharmaceuticals, LLC

Date: March 10, 2008

1473958_1

RICHARD L. JACKSON, PhD

CURRENT POSITION

Ausio Pharmaceuticals, LLC
President and CEO
1776 Mentor Ave.
Cincinnati, OH 45212
513.731.0333
Richard@ausiopharma.com

A specialty pharmaceutical start-up company focused on the development of various medicines. The Company's first drug product is AUS-131 which was licensed from Cincinnati Children's Hospital and Sanitarium, an Australian health food company. The compound currently is being developed as an oral drug. A topical formulation also is being developed. The first clinical studies will begin in Q1, 2008.

PREVIOUS POSITIONS

ENTREPRENEUR-IN-RESIDENCE, Cincinnati Children's Hospital 2003 - 2006

Provided pharmaceutical and commercial input into the Computational Medicine Center proposal; a $28 million grant was awarded to Cincinnati Children's Hospital from the State of Ohio (Third Frontier Award).
Provided input for the Tomorrow Fund, a Third Frontier award to establish a seed fund at Children's Hospital.
Provided pharmaceutical and drug development expertise for the development of technologies from Children's Hospital. Several technologies were licensed to pharmaceutical companies. Ausio Pharmaceuticals, LLC, Bexion Pharmaceuticals, LLC and Atablos Therapeutics are companies that have been spun-out from these efforts.

EMERGEN, INC., Salt Lake City, UT March 2002 – April 2003

President and CEO
Chairman, Board of Directors

In-licensed leuprogel from Atris Laboratories for the treatment of endometriosis. The research focus was the genetic basis of endometriosis and polycystic ovary syndrome. Identified novel targets for invasive cancers by understanding placental biology.

ATRIX LABORATORIES, INC., Fort Collins, CO November 1, 1998 - 2002

Senior Vice President, Research and Development
Reported to Mr. D.R. Bethune (CEO & Chairman)
Member of Board of Directors

Responsible for preclinical, clinical, regulatory, and quality activities for new therapies in dermatology, pain management, and oncology. Five products reached the market place.

WYETH-AYERST, the Pharma Division of American Home Products 1993 - 1998

Senior Vice President, Discovery Research
Reported to Dr. R.I. Levy (President, Wyeth-Ayerst Research)
Deceased

Responsible for the discovery of innovative, new therapies for Women's Health, Neurological Disorders, Cardiovascular and Metabolic Diseases, Infectious Diseases, Oncology and Immunoinflammatory Diseases. Provided strategic, scientific and administrative leadership for

the worldwide research efforts. Responsible for 1100 people with an annual internal operating budget of $180 million plus external University and Biotech alliances of $52 million. Seven products reached the market place.

MARION MERRELL DOW RESEARCH INSTITUTE 1985 - 1992

Senior Vice President Discovery Research
Reported to Dr. A. Sjoerdsma/Dr. W. Lovenberg (Presidents – MMD Research Institute)

Responsible for the discovery of drugs for Allergy, Pulmonary Diseases, CNS Disorders, Oncology, Cardiovascular/Metabolic Diseases and Immuno-Inflammatory Diseases. Responsibility for the US discovery operation (350 scientists) with close working relationships with Center Directors in Strasbourg, France, and Milano, Italy.

UNIVERSITY OF CINCINNATI COLLEGE OF MEDICINE 1978 - 1984

Head, Division of Lipoprotein Research
Professor, Department of Pharmacology and Cell Biophysics, Biological Chemistry and Medicine
Department Chairman: Dr. A. Schwartz

Established a research center of excellence in cardiovascular diseases.

BAYLOR COLLEGE OF MEDICINE 1971 - 1977

Associate Professor, Departments of Medicine and Cell Biology
Department Chairman: Dr. A.M. Gotto, Dr. B. O'Malley

Established a cardiovascular center for research, patient care and education.

NIH, LABORATORY CHEMICAL PATHOLOGY, NIAMD 1970 - 1971

Senior Staff Fellow

NIH, EXPERIMENTAL THERAPEUTICS, NHLBI 1969 – 1970

Junior Staff Fellow

BROOKHAVEN NATIONAL LABORATORY 1967 - 1968

Postdoctoral Fellowship

PROFESSORSHIPS

HADASSAH UNIVERSITY HOSPITAL, Jerusalem, Israel (November - December, 1974)

STATE UNIVERSITY OF UTRECHT, Utrecht, the Netherlands (January – July, 1978) Biochemical Laboratory

INSTITUTO VENEZOLANO DE INVESTIGACIONES CIENTIFICAS, Caracas, Venezuela (October, 1982)

NATIONAL CARDIOVASCULAR CENTER RESEARCH INSTITUTE, Osaka, Japan (June – August, 1984)

ROCKEFELLER UNIVERSITY, New York (January – July, 1985)

EDUCATION

PhD University of Illinois, Department of Microbiology 1967
BS University of Illinois, Department of Chemistry, 1963

HONORS/ AWARDS

1969	American Cancer Society Postdoctoral Fellowship
1972	American Heart Association Established Investigator
1974	American Heart Association Lewis Katz Award
1981	The 1000 Contemporary Scientist Most Cited 1965 – 1978
1984	Naito Foundation Award – National Cardiovascular Research Institute, Osaka, Japan

NATIONAL ADVISORY COMMITTEES/ BOARD OF DIRECTORS

1979 – 1983	NIH Metabolism Study Section
1977 – 1981	American Heart Association Pathology Research Review
1981	American Heart Association Katz Award Committee
1980 – 1982	American Heart Association Program Committee
1982 – 1988	Editorial Board, Journal of Lipid Research
1988 – 1992	Associate Editor, Journal of Lipid Research
1990 – 1992	American Heart Association, Executive Committee at Large
1991 – 1992	University of Cincinnati Cardiovascular Center, Advisory Board
1992 – 1997	Editorial Board, Current Drugs in Research
1992 – 1995	Arthritis Foundation, Scientific Advisory Board
1994 – 1998	American Heart Association, Long-Term Planning Committee
1995 – 1998	Rider University, Scientific Advisory Board
1996 – 1998	Immunex Corporation, Member Board of Directors
1997 – 2000	Princeton University, Chemistry Department Advisory Board
1998 – 2000	ZymoGenetics, Scientific Advisory Board
1999 – 2002	Atrix Laboratories, Member Board of Directors
2001 – 2007	Inflazyme, Member Board of Directors
2002 – 2003	EmerGen, Inc., Member Board of Directors
2003 – Present	Oncothyreon (Biomira), Member Board of Directors
2003 – 2005	MDS Capital, Scientific Advisory Board
2005	AB Biopharma, Member Board of Directors
2006 – Present	Bexion Pharmaceuticals, Chairman, Board of Directors
2006 – Present	Viron Therapeutics, Chairman, Board of Directors
2007 - Present	Leukemia and Lymphoma Society, Member Board for Translational Research

PUBLICATIONS

274 Reviewed Articles
Over 500 Abstracts and Presentations

PATENTS

10 Issued Patents

SpectrumScreen
Data Report
Ausio Pharmaceuticals LLC

Study Completed: August 27, 2007

Report Printed: August 27, 2007

MDSPS PT#: 1094967

Alt. Code 1: Batch: A313-10-5

Alt. Code 2:

Alt. Code 3:

Sample(s): AUS-131

M.W.: 242.27

Objectives:

To evaluate, in SpectrumScreen, the activity of test compound AUS-131 (PT# 1094967).

MDS Pharma Services Pharmacology Data Report
On Compound AUS-131 For Ausio Pharmaceuticals LLC

Work Order Number:	1-1028406-1	**Services Being Reported:**	SpectrumScreen
Alternative Work Order No:			
Purchase Order Number:		**Total # of Assays:**	159
Compound Information:			
Compound Code:	AUS-131		
Alternative Code 1:	Batch: A313-10-5		
Alternative Code 2:			
Alternative Code 3:			
MDSPS Internal #:	1094967		
Molecular Weight:	242.27		

Sponsor:
Ausio Pharmaceuticals LLC
1776 Mentor Avenue
Suite 340
Cincinnati, OH 45212
USA

Undertaken at:
MDS Pharma Services - Taiwan Ltd.
Pharmacology Laboratories
158 Li-Teh Road, Peitou
Taipei, Taiwan 112
Taiwan

Date of Study: August 13, 2007 - August 27, 2007

Study Directors: Kun-Yuan Lin, MDS Pharma Services - Taiwan Ltd.
Kuo-Hsin Chen, MDS Pharma Services - Taiwan Ltd.

Distribution: Ausio Pharmaceuticals LLC

"This study was conducted according to the procedures described in this report. All data presented are authentic, accurate and correct to the best of our knowledge."

Kun-Yuan Lin
Study Director for Animal Assays

Kuo-Hsin Chen
Study Director for Biochemical Assays

Jiann-Wu Wei, Ph.D
Quality Control and Data Reviewer

Peter Chiu, Ph.D
Technical Director

TABLE OF CONTENTS

SUMMARY

STUDY OBJECTIVE

To evaluate, in Radioligand Binding assays, the activity of compound AUS-131 (PT# 1094967).

METHODS

Methods employed in this study have been adapted from the scientific literature to maximize reliability and reproducibility. Reference standards were run as an integral part of each assay to ensure the validity of the results obtained. Assays were performed under conditions described in the accompanying "Methods" section of this report. The literature reference(s) for each assay are in the "Literature References" section. If either of these sections were not originally requested with the accompanying report, please contact us at the number below for a printout of either of these report sections.

Where presented, IC_{50} values were determined by a non-linear, least squares regression analysis using Data Analysis Toolbox™ (MDL Information Systems, San Leandro, CA, USA). Where inhibition constants (K_i) are presented, the K_i values were calculated using the equation of Cheng and Prusoff (Cheng, Y., Prusoff, W.H., Biochem. Pharmacol. 22:3099-3108, 1973) using the observed IC_{50} of the tested compound, the concentration of radioligand employed in the assay, and the historical values for the K_D of the ligand (obtained experimentally at MDS Pharma Services). Where presented, the Hill coefficient (n_H), defining the slope of the competitive binding curve, was calculated using Data Analysis Toolbox™. Hill coefficients significantly different than 1.0, may suggest that the binding displacement does not follow the laws of mass action with a single binding site. Where IC_{50}, K_i, and/or n_H data are presented without Standard Error of the Mean (SEM), data are insufficient to be quantitative, and the values presented (K_i, IC_{50}, n_H) should be interpreted with caution.

RESULTS

A summary of results meeting the significance criteria is presented in the following sections. Complete results are presented under the section labeled "Experimental Results". Individual responses, if requested, are presented in the appendix to this report.

SUMMARY/CONCLUSION

Significant results are displayed in the following table(s) in rank order of potency for estimated IC_{50} and/or K_i values.

SUMMARY OF SIGNIFICANT PRIMARY RESULTS

Biochemical assay results are presented as the percent inhibition of specific binding or activity throughout the report. All other results are expressed in terms of that assay's quantitation method (see Methods section).

- *For primary assays, only the lowest concentration with a significant response judged by the assays' criteria, is shown in this summary.*
- *Where applicable, either the secondary assay results with the lowest dose/concentration meeting the significance criteria or, if inactive, the highest dose/concentration that did not meet the significance criteria is shown.*
- *Unless otherwise requested, primary screening in duplicate with quantitative data (e.g., IC50± SEM, Ki ± SEM and nH) are shown where applicable for individual requested assays. In screening packages, primary screening in duplicate with semi-quantitative data (e.g., estimated IC50, Ki and nH) are shown where applicable (concentration range of 4 log units); available secondary functional assays are carried out (30 µM) and MEC or MIC determined only if active in primary assays >50% at 1 log unit below initial test concentration.*
- *Please see Experimental Results section for details of all responses.*

Significant responses (≥ 50% inhibition or stimulation for Biochemical assays) were noted in the primary assays listed below:

PRIMARY TESTS

CAT. #	PRIMARY BIOCHEMICAL ASSAY	SPECIES	CONC.	% INH.	IC_{50} *	K_i	n_H
204410	Transporter, Norepinephrine (NET)	hum	10 µM	57			
220320	Transporter, Dopamine (DAT)	hum	10 µM	84			
226010	Estrogen ERα	hum	10 µM	92			
226050	Estrogen ERβ	hum	10 µM	98			
226300	G Protein-Coupled Receptor GPR103	hum	10 µM	58			

‡ Partially soluble in *in vitro* test solvent.
* A standard error of the mean is presented where results are based on multiple, independent determinations.
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% -100 -50 0 50 100				
200510	Adenosine A_1	203049	hum	2	10 µM	-6				
200610	Adenosine A_{2A}	203053	hum	2	10 µM	22				
200720	Adenosine A_3	203104	hum	2	10 µM	9				
203100	Adrenergic α_{1A}	203043	rat	2	10 µM	0				
203200	Adrenergic α_{1B}	203044	rat	2	10 µM	6				
203400	Adrenergic α_{1D}	203045	hum	2	10 µM	14				
203620	Adrenergic α_{2A}	203046	hum	2	10 µM	-3				
203800	Adrenergic α_{2C}	203048	hum	2	10 µM	-2				
204010	Adrenergic β_1	203050	hum	2	10 µM	-2				
204110	Adrenergic β_2	203051	hum	2	10 µM	6				
204200	Adrenergic β_3	203052	hum	2	10 µM	-3				
204480	Adrenomedullin AM_1	203460	hum	2	10 µM	0				
204470	Adrenomedullin AM_2	203461	hum	2	10 µM	9				
204600	Aldosterone	203107	rat	2	10 µM	9				
205000	Anaphylatoxin C5a	203237	hum	2	10 µM	1				
285010	Androgen (Testosterone) AR	203102	rat	2	10 µM	5				
210020	Angiotensin AT_1	203406	hum	2	10 µM	8				
210110	Angiotensin AT_2	203095	hum	2	10 µM	3				
210700	APJ	203462	hum	2	10 µM	12				
211000	Atrial Natriuretic Factor (ANF)	203189	gp	2	10 µM	-3				
211600	Bombesin BB1	203463	hum	2	10 µM	9				
211700	Bombesin BB2	203464	hum	2	10 µM	1				
211800	Bombesin BB3	203465	hum	2	10 µM	-7				
212510	Bradykinin B_1	203086	hum	2	10 µM	8				
212610	Bradykinin B_2	203087	hum	2	10 µM	9				
213610	Calcitonin	203238	hum	2	10 µM	1				
214010	Calcitonin Gene-Related Peptide CGRP,	203239	hum	2	10 µM	-13				
214510	Calcium Channel L-Type, Benzothiazepine	203056	rat	2	10 µM	-8				
214600	Calcium Channel L-Type, Dihydropyridine	203057	rat	2	10 µM	5				
215000	Calcium Channel L-Type, Phenylalkylamine	203058	rat	2	10 µM	34				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
♦ Denotes item meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% -100 -50 0 50 100				
216000	Calcium Channel N-Type	203176	rat	2	10 µM	0				
217020	Cannabinoid CB_1	203177	hum	2	10 µM	9				
217100	Cannabinoid CB_2	203178	hum	2	10 µM	-9				
244600	Chemokine CX3CR1	203471	hum	2	10 µM	5				
218010	Cholecystokinin CCK_1 (CCK_A)	203408	hum	2	10 µM	13				
218120	Cholecystokinin CCK_2 (CCK_B)	203466	hum	2	10 µM	8				
219100	Colchicine	203000	rat	2	10 µM	15				
219150	Corticotropin Releasing Factor CRF_1	203409	hum	2	10 µM	-2				
219500	Dopamine D_1	202962	hum	2	10 µM	6				
219700	Dopamine D_{2S}	202964	hum	2	10 µM	-1				
219800	Dopamine D_3	202965	hum	2	10 µM	3				
219900	Dopamine $D_{4.2}$	202966	hum	2	10 µM	19				
220200	Dopamine D_5	202969	hum	2	10 µM	5				
224010	Endothelin ET_A	203091	hum	2	10 µM	-5				
224110	Endothelin ET_B	203092	hum	2	10 µM	12				
225510	Epidermal Growth Factor (EGF)	203167	hum	2	10 µM	3				
225800	Erythropoietin EPOR	203467	hum	2	10 µM	6				
♦ 226010	Estrogen ERα	202976	hum	2	10 µM	92				
♦ 226050	Estrogen ERβ	202977	hum	2	10 µM	98				
♦ 226300	G Protein-Coupled Receptor GPR103	202993	hum	2	10 µM	58				
226230	G Protein-Coupled Receptor GPR8	203470	hum	2	10 µM	-4				
226810	$GABA_A$, Chloride Channel, T8OB	203101	rat	2	10 µM	0				
226600	$GABA_A$, Flunitrazepam, Central	203061	rat	2	10 µM	12				
226500	$GABA_A$, Muscimol, Central	203060	rat	2	10 µM	-4				
226610	$GABA_{BIA}$	203158	hum	2	10 µM	-20				
226710	$GABA_{B1B}$	203159	hum	2	10 µM	-6				
230000	Gabapentin	203001	rat	2	10 µM	0				
231510	Galanin GAL1	203165	hum	2	10 µM	-1				
231600	Galanin GAL2	203166	hum	2	10 µM	-4				
232600	Glutamate, AMPA	203157	rat	2	10 µM	-19				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
♦ Denotes item meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% -100 -50 0 50 100				
232700	Glutamate, Kainate	203063	rat	2	10 µM	10				
232810	Glutamate, NMDA, Agonism	203064	rat	2	10 µM	-1				
232910	Glutamate, NMDA, Glycine	203065	rat	2	10 µM	0				
233000	Glutamate, NMDA, Phencyclidine	203066	rat	2	10 µM	-8				
234000	Glutamate, NMDA, Polyamine	203067	rat	2	10 µM	-5				
239000	Glycine, Strychnine-Sensitive	203068	rat	2	10 µM	3				
239300	Growth Hormone Secretagogue (GHS, Ghrelin)	203243	hum	2	10 µM	6				
239610	Histamine H_1	202970	hum	2	10 µM	8				
239710	Histamine H_2	203069	hum	2	10 µM	8				
239810	Histamine H_3	202972	hum	2	10 µM	-9				
239900	Histamine H_4	202973	hum	2	10 µM	-4				
241000	Imidazoline I_2, Central	202974	rat	2	10 µM	-9				
242500	Inositol Trisphosphate IP_3	203244	rat	2	10 µM	10				
243000	Insulin	203208	rat	2	10 µM	-3				
250400	Leptin	203317	mouse	2	10 µM	-9				
250510	Leukotriene, BLT (LTB_4)	204039	hum	2	10 µM	9				
250460	Leukotriene, Cysteinyl $CysLT_1$	203089	hum	2	10 µM	-1				
250480	Leukotriene, Cysteinyl $CysLT_2$	203090	hum	2	10 µM	-21				
251100	Melanocortin MC_1	203411	hum	2	10 µM	6				
251300	Melanocortin MC_3	203412	hum	2	10 µM	-3				
251350	Melanocortin MC_4	203413	hum	2	10 µM	1				
251400	Melanocortin MC_5	203414	hum	2	10 µM	18				
251600	Melatonin MT_1	203140	hum	2	10 µM	5				
251700	Melatonin MT_2	203142	hum	2	10 µM	41				
252200	Motilin	203472	hum	2	10 µM	8				
252610	Muscarinic M_1	202957	hum	2	10 µM	-3				
252710	Muscarinic M_2	202958	hum	2	10 µM	0				
252810	Muscarinic M_3	202959	hum	2	10 µM	1				
252910	Muscarinic M_4	202960	hum	2	10 µM	0				
253010	Muscarinic M_5	202961	hum	2	10 µM	-1				
226100	N-Formyl Peptide Receptor FPR1	203240	hum	2	10 µM	-5				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
♦ Denotes item meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC_{50}	K_i	n_H	R
						% -100 -50 0 50 100				
226200	N-Formyl Peptide Receptor-Like FPRL1	203241	hum	2	10 µM	-10				
256100	Neuromedin U NMU_1	203473	hum	2	10 µM	2				
256200	Neuromedin U NMU_2	203474	hum	2	10 µM	5				
257010	Neuropeptide Y Y_1	203093	hum	2	10 µM	-10				
257110	Neuropeptide Y Y_2	203094	hum	2	10 µM	4				
258010	Neurotensin NT_1	203318	hum	2	10 µM	-3				
258590	Nicotinic Acetylcholine	202989	hum	2	10 µM	-1				
258700	Nicotinic Acetylcholine α1, Bungarotoxin	202991	hum	2	10 µM	10				
258830	Nicotinic Acetylcholine α7, Bungarotoxin	202990	rat	2	10 µM	-5				
260110	Opiate δ (OP1, DOP)	203070	hum	2	10 µM	6				
260210	Opiate κ (OP2, KOP)	203072	hum	2	10 µM	12				
260410	Opiate µ (OP3, MOP)	203074	hum	2	10 µM	-5				
260600	Orphanin ORL_1	203476	hum	2	10 µM	2				
264500	Phorbol Ester	203076	mouse	2	10 µM	1				
265010	Platelet Activating Factor (PAF)	203007	hum	2	10 µM	6				
265200	Platelet-Derived Growth Factor (PDGF)	202979	mouse	2	10 µM	9				
265500	Potassium Channel [K_v]	203079	rat	2	10 µM	3				
265600	Potassium Channel [K_{ATP}]	203078	ham	2	10 µM	9				
265800	Potassium Channel [SK_{Ca}]	203002	rat	2	10 µM	4				
265900	Potassium Channel HERG	202994	hum	2	10 µM	9				
268020	Progesterone PR-B	202992	hum	2	10 µM	15				
268030	Prostanoid CRTH2	203352	hum	2	10 µM	16				
268050	Prostanoid DP	202995	hum	2	10 µM	30				
268200	Prostanoid EP_2	202996	hum	2	10 µM	17				
268410	Prostanoid EP_4	202997	hum	2	10 µM	5				
285510	Prostanoid, Thromboxane A_2 (TP)	203004	hum	2	10 µM	4				
268700	Purinergic P_{2X}	202982	rabbit	2	10 µM	-12				
268810	Purinergic P_{2Y}	202983	rat	2	10 µM	5				
269500	Retinoid X Receptor RXRα	203477	hum	2	10 µM	0				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
♦ Denotes item meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% -100 -50 0 50 100				
270000	Rolipram	203130	rat	2	10 µM	6				
270300	Ryanodine RyR3	203478	rat	2	10 µM	7				
271110	Serotonin (5-Hydroxytryptamine) 5-HT$_{1A}$	203108	hum	2	10 µM	-5				
271200	Serotonin (5-Hydroxytryptamine) 5-HT$_{1B}$	203109	rat	2	10 µM	22				
271700	Serotonin (5-Hydroxytryptamine) 5-HT$_{2B}$	203251	hum	2	10 µM	17				
271800	Serotonin (5-Hydroxytryptamine) 5-HT$_{2C}$	203273	hum	2	10 µM	15				
271910	Serotonin (5-Hydroxytryptamine) 5-HT$_3$	203164	hum	2	10 µM	-11				
272000	Serotonin (5-Hydroxytryptamine) 5-HT$_4$	203174	gp	2	10 µM	4				
272100	Serotonin (5-Hydroxytryptamine) 5-HT$_{5A}$	203003	hum	2	10 µM	9				
272200	Serotonin (5-Hydroxytryptamine) 5-HT$_6$	203254	hum	2	10 µM	11				
278110	Sigma σ_1	203082	hum	2	10 µM	16				
278200	Sigma σ_2	203083	rat	2	10 µM	15				
279510	Sodium Channel, Site 2	203084	rat	2	10 µM	2				
282510	Somatostatin sst1	203181	hum	2	10 µM	14				
282700	Somatostatin sst2	203182	hum	2	10 µM	3				
282530	Somatostatin sst3	203183	hum	2	10 µM	-1				
282900	Somatostatin sst4	203184	hum	2	10 µM	8				
283000	Somatostatin sst5	203185	hum	2	10 µM	-5				
255510	Tachykinin NK$_1$	203160	hum	2	10 µM	-2				
255600	Tachykinin NK$_2$	203161	hum	2	10 µM	25				
255710	Tachykinin NK$_3$	203162	hum	2	10 µM	-5				
285900	Thyroid Hormone	203171	rat	2	10 µM	-7				
286000	Thyrotropin Releasing Hormone (TRH)	203259	rat	2	10 µM	6				
286200	Transforming Growth Factor-β (TGF-β)	202980	mouse	2	10 µM	11				
202000	Transporter, Adenosine	203088	gp	2	10 µM	6				
219000	Transporter, Choline	203105	rat	2	10 µM	10				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
♦ Denotes item meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% -100 -50 0 50 100				
♦ 220320	Transporter, Dopamine (DAT)	203188	hum	2	10 µM	84				
226400	Transporter, GABA	203059	rat	2	10 µM	5				
252010	Transporter, Monoamine	203179	rabbit	2	10 µM	16				
♦ 204410	Transporter, Norepinephrine (NET)	203581	hum	2	10 µM	57				
274030	Transporter, Serotonin (5-Hydroxytryptamine) (SERT)	203055	hum	2	10 µM	11				
286700	Urotensin II	203234	hum	2	10 µM	-14				
286810	Vanilloid	203133	rat	2	10 µM	-6				
286900	Vascular Endothelial Growth Factor (VEGF)	203598	hum	2	10 µM	26				
287010	Vasoactive Intestinal Peptide VIP_1	203260	hum	2	10 µM	0				
287520	Vasopressin V_{1A}	203097	hum	2	10 µM	2				
287580	Vasopressin V_{1B}	203098	hum	2	10 µM	-1				
287610	Vasopressin V_2	203099	hum	2	10 µM	-20				
288000	Vitamin D_3	203096	hum	2	10 µM	-8				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
♦ Denotes item meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

MDS has an exclusive, worldwide limited use license from Synaptic Pharmaceutical Corporation to perform these assays: Adrenergic Alpha 1D, Adrenergic Alpha 2B, and Dopamine D5 for safety and selectivity profiling. MDS' license excludes performing these assays in connection with drug discovery or development activities where the principal therapeutic mechanism of action of the test compound involves selective binding to a licensed receptor. Customers may contact Synaptic directly if they believe they need a broader license.

SpectrumScreen
Data Report
Ausio Pharmaceuticals LLC

Study Completed: August 27, 2007

Report Printed: August 27, 2007

MDSPS PT#: 1094968

Alt. Code 1: Batch: A313-84-1

Alt. Code 2:

Alt. Code 3:

Sample(s): AUS-132

M.W.: 242.27

Objectives:

To evaluate, in SpectrumScreen, the activity of test compound AUS-132 (PT# 1094968).

MDS Pharma Services Pharmacology Data Report
On Compound AUS-132 For Ausio Pharmaceuticals LLC

Work Order Number:	1-1028406-1	**Services Being Reported:**	SpectrumScreen
Alternative Work Order No:			
Purchase Order Number:		**Total # of Assays:**	159

Compound Information:

Compound Code:	AUS-132
Alternative Code 1:	Batch: A313-84-1
Alternative Code 2:	
Alternative Code 3:	
MDSPS Internal #:	1094968
Molecular Weight:	242.27

Sponsor: Ausio Pharmaceuticals LLC
1776 Mentor Avenue
Suite 340
Cincinnati, OH 45212
USA

Undertaken at: MDS Pharma Services - Taiwan Ltd.
Pharmacology Laboratories
158 Li-Teh Road, Peitou
Taipei, Taiwan 112
Taiwan

Date of Study: August 13, 2007 - August 27, 2007

Study Directors: Kun-Yuan Lin, MDS Pharma Services - Taiwan Ltd.
Kuo-Hsin Chen, MDS Pharma Services - Taiwan Ltd.

Distribution: Ausio Pharmaceuticals LLC

"This study was conducted according to the procedures described in this report. All data presented are authentic, accurate and correct to the best of our knowledge."

Kun-Yuan Lin
Study Director for Animal Assays

Kuo-Hsin Chen
Study Director for Biochemical Assays

Jiann-Wu Wei, Ph.D
Quality Control and Data Reviewer

Peter Chiu, Ph.D
Technical Director

TABLE OF CONTENTS

SUMMARY

STUDY OBJECTIVE

To evaluate, in Radioligand Binding assays, the activity of compound AUS-132 (PT# 1094968).

METHODS

Methods employed in this study have been adapted from the scientific literature to maximize reliability and reproducibility. Reference standards were run as an integral part of each assay to ensure the validity of the results obtained. Assays were performed under conditions described in the accompanying "Methods" section of this report. The literature reference(s) for each assay are in the "Literature References" section. If either of these sections were not originally requested with the accompanying report, please contact us at the number below for a printout of either of these report sections.

Where presented, IC_{50} values were determined by a non-linear, least squares regression analysis using Data Analysis Toolbox™ (MDL Information Systems, San Leandro, CA, USA). Where inhibition constants (K_i) are presented, the K_i values were calculated using the equation of Cheng and Prusoff (Cheng, Y., Prusoff, W.H., Biochem. Pharmacol. 22:3099-3108, 1973) using the observed IC_{50} of the tested compound, the concentration of radioligand employed in the assay, and the historical values for the K_D of the ligand (obtained experimentally at MDS Pharma Services). Where presented, the Hill coefficient (n_H), defining the slope of the competitive binding curve, was calculated using Data Analysis Toolbox™. Hill coefficients significantly different than 1.0, may suggest that the binding displacement does not follow the laws of mass action with a single binding site. Where IC_{50}, K_i, and/or n_H data are presented without Standard Error of the Mean (SEM), data are insufficient to be quantitative, and the values presented (K_i, IC_{50}, n_H) should be interpreted with caution.

RESULTS

A summary of results meeting the significance criteria is presented in the following sections. Complete results are presented under the section labeled "Experimental Results". Individual responses, if requested, are presented in the appendix to this report.

SUMMARY/CONCLUSION

Significant results are displayed in the following table(s) in rank order of potency for estimated IC_{50} and/or K_i values.

SUMMARY OF SIGNIFICANT PRIMARY RESULTS

Biochemical assay results are presented as the percent inhibition of specific binding or activity throughout the report. All other results are expressed in terms of that assay's quantitation method (see Methods section).

- *For primary assays, only the lowest concentration with a significant response judged by the assays' criteria, is shown in this summary.*
- *Where applicable, either the secondary assay results with the lowest dose/concentration meeting the significance criteria or, if inactive, the highest dose/concentration that did not meet the significance criteria is shown.*
- *Unless otherwise requested, primary screening in duplicate with quantitative data (e.g., IC50± SEM, KI± SEM and nH) are shown where applicable for individual requested assays. In screening packages, primary screening in duplicate with semi-quantitative data (e.g., estimated IC50, KI and nH) are shown where applicable (concentration range of 4 log units); available secondary functional assays are carried out (30 µM) and MEC or MIC determined only if active in primary assays >50% at 1 log unit below initial test concentration.*
- *Please see Experimental Results section for details of all responses.*

Significant responses (≥ 50% inhibition or stimulation for Biochemical assays) were noted in the primary assays listed below:

PRIMARY TESTS

CAT. #	PRIMARY BIOCHEMICAL ASSAY	SPECIES	CONC.	% INH.	IC_{xx} *	K_i	n_H
220320	Transporter, Dopamine (DAT)	hum	10 µM	88			
226010	Estrogen ERα	hum	10 µM	93			
226050	Estrogen ERβ	hum	10 µM	94			
252010	Transporter, Monoamine	rabbit	10 µM	51			

‡ Partially soluble in *in vitro* test solvent.
* A standard error of the mean is presented where results are based on multiple, independent determinations.
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% (-100 -50 0 50 100)				
200510	Adenosine A_1	203049	hum	2	10 µM	-4				
200610	Adenosine A_{2A}	203053	hum	2	10 µM	33				
200720	Adenosine A_3	203104	hum	2	10 µM	10				
203100	Adrenergic α_{1A}	203043	rat	2	10 µM	13				
203200	Adrenergic α_{1B}	203044	rat	2	10 µM	5				
203400	Adrenergic α_{1D}	203045	hum	2	10 µM	8				
203620	Adrenergic α_{2A}	203046	hum	2	10 µM	5				
203800	Adrenergic α_{2C}	203048	hum	2	10 µM	3				
204010	Adrenergic β_1	203050	hum	2	10 µM	15				
204110	Adrenergic β_2	203051	hum	2	10 µM	20				
204200	Adrenergic β_3	203052	hum	2	10 µM	-1				
204460	Adrenomedullin AM_1	203460	hum	2	10 µM	-11				
204470	Adrenomedullin AM_2	203461	hum	2	10 µM	10				
204600	Aldosterone	203107	rat	2	10 µM	11				
205000	Anaphylatoxin C5a	203237	hum	2	10 µM	4				
285010	Androgen (Testosterone) AR	203102	rat	2	10 µM	4				
210020	Angiotensin AT_1	203406	hum	2	10 µM	2				
210110	Angiotensin AT_2	203095	hum	2	10 µM	4				
210700	APJ	203462	hum	2	10 µM	21				
211000	Atrial Natriuretic Factor (ANF)	203189	gp	2	10 µM	7				
211600	Bombesin BB1	203463	hum	2	10 µM	3				
211700	Bombesin BB2	203464	hum	2	10 µM	-3				
211800	Bombesin BB3	203465	hum	2	10 µM	-2				
212510	Bradykinin B_1	203086	hum	2	10 µM	5				
212610	Bradykinin B_2	203087	hum	2	10 µM	3				
213610	Calcitonin	203238	hum	2	10 µM	-4				
214010	Calcitonin Gene-Related Peptide $CGRP_1$	203239	hum	2	10 µM	15				
214510	Calcium Channel L-Type, Benzothiazepine	203056	rat	2	10 µM	27				
214600	Calcium Channel L-Type, Dihydropyridine	203057	rat	2	10 µM	-8				
215000	Calcium Channel L-Type, Phenylalkylamine	203058	rat	2	10 µM	28				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
• Denotes item meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% -100 -50 0 50 100				
216000	Calcium Channel N-Type	203176	rat	2	10 µM	0				
217020	Cannabinoid CB_1	203177	hum	2	10 µM	14				
217100	Cannabinoid CB_2	203178	hum	2	10 µM	-3				
244600	Chemokine CX3CR1	203471	hum	2	10 µM	24				
218010	Cholecystokinin CCK_1 (CCK_A)	203408	hum	2	10 µM	21				
218120	Cholecystokinin CCK_2 (CCK_B)	203466	hum	2	10 µM	-3				
219100	Colchicine	203000	rat	2	10 µM	-15				
219150	Corticotropin Releasing Factor CRF_1	203409	hum	2	10 µM	-5				
219500	Dopamine D_1	202962	hum	2	10 µM	8				
219700	Dopamine D_{2S}	202964	hum	2	10 µM	3				
219800	Dopamine D_3	202965	hum	2	10 µM	3				
219900	Dopamine $D_{4.2}$	202966	hum	2	10 µM	7				
220200	Dopamine D_5	202969	hum	2	10 µM	3				
224010	Endothelin ET_A	203091	hum	2	10 µM	-14				
224110	Endothelin ET_B	203092	hum	2	10 µM	14				
225510	Epidermal Growth Factor (EGF)	203167	hum	2	10 µM	4				
225800	Erythropoietin EPOR	203467	hum	2	10 µM	9				
♦ 226010	Estrogen ERα	202976	hum	2	10 µM	93				
♦ 226050	Estrogen ERβ	202977	hum	2	10 µM	94				
226300	G Protein-Coupled Receptor GPR103	202993	hum	2	10 µM	14				
226230	G Protein-Coupled Receptor GPR8	203470	hum	2	10 µM	0				
228810	$GABA_A$, Chloride Channel, TBOB	203101	rat	2	10 µM	-1				
226600	$GABA_A$, Flunitrazepam, Central	203061	rat	2	10 µM	5				
226500	$GABA_A$, Muscimol, Central	203060	rat	2	10 µM	-8				
228610	$GABA_{BA}$	203158	hum	2	10 µM	-5				
228710	$GABA_{BB}$	203159	hum	2	10 µM	2				
230000	Gabapentin	203001	rat	2	10 µM	-12				
231510	Galanin GAL1	203165	hum	2	10 µM	-1				
231600	Galanin GAL2	203166	hum	2	10 µM	-6				
232600	Glutamate, AMPA	203157	rat	2	10 µM	1				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
♦ Denotes item meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% -100 -50 0 50 100				
232700	Glutamate, Kainate	203063	rat	2	10 µM	24				
232810	Glutamate, NMDA, Agonism	203064	rat	2	10 µM	23				
232910	Glutamate, NMDA, Glycine	203065	rat	2	10 µM	-4				
233000	Glutamate, NMDA, Phencyclidine	203066	rat	2	10 µM	-6				
234000	Glutamate, NMDA, Polyamine	203067	rat	2	10 µM	-1				
239000	Glycine, Strychnine-Sensitive	203068	rat	2	10 µM	-2				
239300	Growth Hormone Secretagogue (GHS, Ghrelin)	203243	hum	2	10 µM	0				
239610	Histamine H_1	202970	hum	2	10 µM	5				
239710	Histamine H_2	203069	hum	2	10 µM	15				
239810	Histamine H_3	202972	hum	2	10 µM	5				
239900	Histamine H_4	202973	hum	2	10 µM	-1				
241000	Imidazoline I_2, Central	202974	rat	2	10 µM	-6				
242500	Inositol Trisphosphate IP_3	203244	rat	2	10 µM	16				
243000	Insulin	203208	rat	2	10 µM	-2				
250400	Leptin	203317	mouse	2	10 µM	12				
250510	Leukotriene, BLT (LTB_4)	203353	hum	2	10 µM	-5				
250460	Leukotriene, Cysteinyl $CysLT_1$	203089	hum	2	10 µM	-18				
250480	Leukotriene, Cysteinyl $CysLT_2$	203090	hum	2	10 µM	0				
251100	Melanocortin MC_1	203411	hum	2	10 µM	7				
251300	Melanocortin MC_3	203412	hum	2	10 µM	-1				
251350	Melanocortin MC_4	203413	hum	2	10 µM	6				
251400	Melanocortin MC_5	203414	hum	2	10 µM	10				
251600	Melatonin MT_1	203140	hum	2	10 µM	1				
251700	Melatonin MT_2	203142	hum	2	10 µM	33				
252200	Motilin	203472	hum	2	10 µM	14				
252610	Muscarinic M_1	202957	hum	2	10 µM	-2				
252710	Muscarinic M_2	202958	hum	2	10 µM	-5				
252810	Muscarinic M_3	202959	hum	2	10 µM	3				
252910	Muscarinic M_4	202960	hum	2	10 µM	3				
253010	Muscarinic M_5	202961	hum	2	10 µM	2				
226100	N-Formyl Peptide Receptor FPR1	203240	hum	2	10 µM	-3				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
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R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% -100 -50 0 50 100				
226200	N-Formyl Peptide Receptor-Like FPRL1	203241	hum	2	10 µM	-12				
256100	Neuromedin U NMU_1	203473	hum	2	10 µM	9				
256200	Neuromedin U NMU_2	203474	hum	2	10 µM	2				
257010	Neuropeptide Y Y_1	203093	hum	2	10 µM	3				
257110	Neuropeptide Y Y_2	203094	hum	2	10 µM	6				
258010	Neurotensin NT_1	203318	hum	2	10 µM	-4				
258590	Nicotinic Acetylcholine	202989	hum	2	10 µM	-6				
258700	Nicotinic Acetylcholine α1, Bungarotoxin	202991	hum	2	10 µM	7				
258830	Nicotinic Acetylcholine α7, Bungarotoxin	202990	rat	2	10 µM	-7				
260110	Opiate δ (OP1, DOP)	203070	hum	2	10 µM	0				
260210	Opiate κ (OP2, KOP)	203072	hum	2	10 µM	11				
260410	Opiate μ (OP3, MOP)	203074	hum	2	10 µM	-4				
260600	Orphanin ORL_1	203476	hum	2	10 µM	4				
264500	Phorbol Ester	203076	mouse	2	10 µM	-9				
265010	Platelet Activating Factor (PAF)	203007	hum	2	10 µM	12				
265200	Platelet-Derived Growth Factor (PDGF)	202979	mouse	2	10 µM	9				
265500	Potassium Channel [K_A]	203079	rat	2	10 µM	0				
265600	Potassium Channel [K_{ATP}]	203078	ham	2	10 µM	-12				
265800	Potassium Channel [SK_{Ca}]	203002	rat	2	10 µM	3				
265900	Potassium Channel HERG	202994	hum	2	10 µM	-18				
268020	Progesterone PR-B	202992	hum	2	10 µM	17				
268030	Prostanoid CRTH2	203352	hum	2	10 µM	-6				
268050	Prostanoid DP	202995	hum	2	10 µM	21				
268200	Prostanoid EP_3	202996	hum	2	10 µM	19				
268410	Prostanoid EP_4	202997	hum	2	10 µM	0				
285510	Prostanoid, Thromboxane A_2 (TP)	203271	hum	2	10 µM	-15				
268700	Purinergic P_{2X}	202982	rabbit	2	10 µM	15				
268810	Purinergic P_{2Y}	202983	rat	2	10 µM	7				
269500	Retinoid X Receptor RXRα	203477	hum	2	10 µM	3				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
♦ Denotes item meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% -100 -50 0 50 100				
270000	Rolipram	203130	rat	2	10 µM	2				
270300	Ryanodine RyR3	203478	rat	2	10 µM	4				
271110	Serotonin (5-Hydroxytryptamine) 5-HT$_{1A}$	203108	hum	2	10 µM	-9				
271200	Serotonin (5-Hydroxytryptamine) 5-HT$_{1B}$	203109	rat	2	10 µM	19				
271700	Serotonin (5-Hydroxytryptamine) 5-HT$_{2B}$	203251	hum	2	10 µM	10				
271800	Serotonin (5-Hydroxytryptamine) 5-HT$_{2C}$	203273	hum	2	10 µM	13				
271910	Serotonin (5-Hydroxytryptamine) 5-HT$_3$	203164	hum	2	10 µM	-5				
272000	Serotonin (5-Hydroxytryptamine) 5-HT$_4$	203174	gp	2	10 µM	10				
272100	Serotonin (5-Hydroxytryptamine) 5-HT$_{5A}$	203003	hum	2	10 µM	4				
272200	Serotonin (5-Hydroxytryptamine) 5-HT$_6$	203254	hum	2	10 µM	26				
278110	Sigma σ_1	203082	hum	2	10 µM	7				
278200	Sigma σ_2	203083	rat	2	10 µM	14				
279510	Sodium Channel, Site 2	203084	rat	2	10 µM	11				
282510	Somatostatin sst1	203181	hum	2	10 µM	6				
282700	Somatostatin sst2	203182	hum	2	10 µM	3				
282530	Somatostatin sst3	203183	hum	2	10 µM	15				
282900	Somatostatin sst4	203184	hum	2	10 µM	-1				
283000	Somatostatin sst5	203185	hum	2	10 µM	-13				
255510	Tachykinin NK$_1$	203160	hum	2	10 µM	2				
255600	Tachykinin NK$_2$	203161	hum	2	10 µM	17				
255710	Tachykinin NK$_3$	203162	hum	2	10 µM	1				
285900	Thyroid Hormone	203171	rat	2	10 µM	14				
286000	Thyrotropin Releasing Hormone (TRH)	203259	rat	2	10 µM	-15				
286200	Transforming Growth Factor-β (TGF-β)	202980	mouse	2	10 µM	10				
202000	Transporter, Adenosine	203088	gp	2	10 µM	7				
219000	Transporter, Choline	203105	rat	2	10 µM	17				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
♦ Denotes item meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION		IC_{50}	K_i	n_H	R
						%	-100 -50 0 50 100 ↓ ↓ ↓ ↓ ↓				
♦ 220320	Transporter, Dopamine (DAT)	203188	hum	2	10 µM	88					
226400	Transporter, GABA	203059	rat	2	10 µM	6					
♦ 252010	Transporter, Monoamine	203425	rabbit	2	10 µM	51					
204410	Transporter, Norepinephrine (NET)	203054	hum	2	10 µM	37					
274030	Transporter, Serotonin (5-Hydroxytryptamine) (SERT)	203055	hum	2	10 µM	17					
286700	Urotensin II	203234	hum	2	10 µM	-15					
286810	Vanilloid	203133	rat	2	10 µM	-9					
286900	Vascular Endothelial Growth Factor (VEGF)	203041	hum	2	10 µM	6					
287010	Vasoactive Intestinal Peptide VIP_1	203260	hum	2	10 µM	-9					
287520	Vasopressin V_{1A}	203097	hum	2	10 µM	2					
287560	Vasopressin V_{1B}	203098	hum	2	10 µM	-11					
287610	Vasopressin V_2	203099	hum	2	10 µM	-18					
288000	Vitamin D_3	203096	hum	2	10 µM	-1					

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
♦ Denotes item meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

MDS has an exclusive, worldwide limited use license from Synaptic Pharmaceutical Corporation to perform these assays: Adrenergic Alpha 1D, Adrenergic Alpha 2B, and Dopamine D5 for safety and selectivity profiling. MDS' license excludes performing those assays in connection with drug discovery or development activities where the principal therapeutic mechanism of action of the test compound involves selective binding to a licensed receptor. Customers may contact Synaptic directly if they believe they need a broader license.

SpectrumScreen
Data Report
Ausio Pharmaceuticals LLC

Study Completed: August 27, 2007

Report Printed: August 27, 2007

MDSPS PT#: 1094969

Alt. Code 1: Batch: NW037/07

Alt. Code 2:

Alt. Code 3:

Sample(s): AUS-133

M.W.: 242.27

Objectives:

To evaluate, in SpectrumScreen, the activity of test compound AUS-133 (PT# 1094969).

MDS Pharma Services • Tel: 425-487-8217 • Fax: 425-487-8211 • e-mail: bothell.lab@mdsps.com http://www.mdsps.com

MDS Pharma Services Pharmacology Data Report
On Compound AUS-133 For Ausio Pharmaceuticals LLC

Work Order Number:	1-1028406-1	**Services Being Reported:**	SpectrumScreen
Alternative Work Order No:			
Purchase Order Number:		**Total # of Assays:**	159

Compound Information:

Compound Code:	AUS-133
Alternative Code 1:	Batch: NW037/07
Alternative Code 2:	
Alternative Code 3:	
MDSPS Internal #:	1094969
Molecular Weight:	242.27

Sponsor:
Ausio Pharmaceuticals LLC
1776 Mentor Avenue
Suite 340
Cincinnati, OH 45212
USA

Undertaken at:
MDS Pharma Services - Taiwan Ltd.
Pharmacology Laboratories
158 Li-Teh Road, Peitou
Taipei, Taiwan 112
Taiwan

Date of Study: August 13, 2007 - August 27, 2007

Study Directors: Kun-Yuan Lin, MDS Pharma Services - Taiwan Ltd.
Kuo-Hsin Chen, MDS Pharma Services - Taiwan Ltd.

Distribution: Ausio Pharmaceuticals LLC

"This study was conducted according to the procedures described in this report. All data presented are authentic, accurate and correct to the best of our knowledge."

Kun-Yuan Lin
Study Director for Animal Assays

Kuo-Hsin Chen
Study Director for Biochemical Assays

Jiann-Wu Wei, Ph.D
Quality Control and Data Reviewer

Peter Chiu, Ph.D
Technical Director

TABLE OF CONTENTS

SUMMARY

STUDY OBJECTIVE

To evaluate, in Radioligand Binding assays, the activity of compound AUS-133 (PT# 1094969).

METHODS

Methods employed in this study have been adapted from the scientific literature to maximize reliability and reproducibility. Reference standards were run as an integral part of each assay to ensure the validity of the results obtained. Assays were performed under conditions described in the accompanying "Methods" section of this report. The literature reference(s) for each assay are in the "Literature References" section. If either of these sections were not originally requested with the accompanying report, please contact us at the number below for a printout of either of these report sections.

Where presented, IC_{50} values were determined by a non-linear, least squares regression analysis using Data Analysis Toolbox™ (MDL Information Systems, San Leandro, CA, USA). Where inhibition constants (K_i) are presented, the K_i values were calculated using the equation of Cheng and Prusoff (Cheng, Y., Prusoff, W.H., Biochem. Pharmacol. 22:3099-3108, 1973) using the observed IC_{50} of the tested compound, the concentration of radioligand employed in the assay, and the historical values for the K_D of the ligand (obtained experimentally at MDS Pharma Services). Where presented, the Hill coefficient (n_H), defining the slope of the competitive binding curve, was calculated using Data Analysis Toolbox™. Hill coefficients significantly different than 1.0, may suggest that the binding displacement does not follow the laws of mass action with a single binding site. Where IC_{50}, K_i, and/or n_H data are presented without Standard Error of the Mean (SEM), data are insufficient to be quantitative, and the values presented (K_i, IC_{50}, n_H) should be interpreted with caution.

RESULTS

A summary of results meeting the significance criteria is presented in the following sections. Complete results are presented under the section labeled "Experimental Results". Individual responses, if requested, are presented in the appendix to this report.

SUMMARY/CONCLUSION

Significant results are displayed in the following table(s) in rank order of potency for estimated IC_{50} and/or K_i values.

SUMMARY OF SIGNIFICANT PRIMARY RESULTS

Biochemical assay results are presented as the percent inhibition of specific binding or activity throughout the report. All other results are expressed in terms of that assay's quantitation method (see Methods section).

- *For primary assays, only the lowest concentration with a significant response judged by the assays' criteria, is shown in this summary.*
- *Where applicable, either the secondary assay results with the lowest dose/concentration meeting the significance criteria or, if inactive, the highest dose/concentration that did not meet the significance criteria is shown.*
- *Unless otherwise requested, primary screening in duplicate with quantitative data (e.g., IC50± SEM, Ki± SEM and nH) are shown where applicable for individual requested assays. In screening packages, primary screening in duplicate with semi-quantitative data (e.g., estimated IC50, Ki and nH) are shown where applicable (concentration range of 4 log units);' available secondary functional assays are carried out (30 µM) and MEC or MIC determined only if active in primary assays >50% at 1 log unit below initial test concentration.*
- *Please see Experimental Results section for details of all responses.*

Significant responses (≥ 50% inhibition or stimulation for Biochemical assays) were noted in the primary assays listed below:

PRIMARY TESTS

CAT. #	PRIMARY BIOCHEMICAL ASSAY	SPECIES	CONC.	% INH.	IC_{50} *	K_i	n_H
204410	Transporter, Norepinephrine (NET)	hum	10 µM	50			
220320	Transporter, Dopamine (DAT)	hum	10 µM	92			
226010	Estrogen ERα	hum	10 µM	94			
226050	Estrogen ERβ	hum	10 µM	98			

‡ Partially soluble in *in vitro* test solvent.
* A standard error of the mean is presented where results are based on multiple, independent determinations.
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% (-100 -50 0 50 100)				
200510	Adenosine A_1	203049	hum	2	10 µM	-6				
200610	Adenosine A_{2A}	203053	hum	2	10 µM	24				
200720	Adenosine A_3	203104	hum	2	10 µM	15				
203100	Adrenergic α_{1A}	203043	rat	2	10 µM	9				
203200	Adrenergic α_{1B}	203044	rat	2	10 µM	2				
203400	Adrenergic α_{1D}	203045	hum	2	10 µM	-8				
203620	Adrenergic α_{2A}	203046	hum	2	10 µM	10				
203800	Adrenergic α_{2C}	203048	hum	2	10 µM	5				
204010	Adrenergic β_1	203050	hum	2	10 µM	6				
204110	Adrenergic β_2	203051	hum	2	10 µM	6				
204200	Adrenergic β_3	203052	hum	2	10 µM	-5				
204460	Adrenomedullin AM_1	203460	hum	2	10 µM	-2				
204470	Adrenomedullin AM_2	203461	hum	2	10 µM	9				
204600	Aldosterone	203107	rat	2	10 µM	20				
205000	Anaphylatoxin C5a	203237	hum	2	10 µM	-7				
285010	Androgen (Testosterone) AR	203102	rat	2	10 µM	5				
210020	Angiotensin AT_1	203406	hum	2	10 µM	-14				
210110	Angiotensin AT_2	203095	hum	2	10 µM	1				
210700	APJ	203462	hum	2	10 µM	-10				
211000	Atrial Natriuretic Factor (ANF)	203189	gp	2	10 µM	4				
211600	Bombesin BB1	203463	hum	2	10 µM	7				
211700	Bombesin BB2	203464	hum	2	10 µM	-1				
211800	Bombesin BB3	203465	hum	2	10 µM	-12				
212510	Bradykinin B_1	203086	hum	2	10 µM	8				
212610	Bradykinin B_2	203087	hum	2	10 µM	13				
213610	Calcitonin	203238	hum	2	10 µM	-1				
214010	Calcitonin Gene-Related Peptide $CGRP_1$	203239	hum	2	10 µM	8				
214510	Calcium Channel L-Type, Benzothiazepine	203056	rat	2	10 µM	21				
214600	Calcium Channel L-Type, Dihydropyridine	203057	rat	2	10 µM	0				
215000	Calcium Channel L-Type, Phenylalkylamine	203058	rat	2	10 µM	38				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
♦ Denotes data meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% -100 -50 0 50 100				
216000	Calcium Channel N-Type	203176	rat	2	10 µM	-4				
217020	Cannabinoid CB_1	203177	hum	2	10 µM	11				
217100	Cannabinoid CB_2	203178	hum	2	10 µM	6				
244600	Chemokine CX3CR1	203471	hum	2	10 µM	11				
218010	Cholecystokinin CCK_1 (CCK_A)	203408	hum	2	10 µM	20				
218120	Cholecystokinin CCK_2 (CCK_B)	203486	hum	2	10 µM	1				
219100	Colchicine	203000	rat	2	10 µM	22				
219150	Corticotropin Releasing Factor CRF_1	203409	hum	2	10 µM	-3				
219500	Dopamine D_1	202962	hum	2	10 µM	0				
219700	Dopamine D_{1L}	202964	hum	2	10 µM	-5				
219800	Dopamine D_3	202965	hum	2	10 µM	-5				
219900	Dopamine $D_{4.2}$	202966	hum	2	10 µM	11				
220200	Dopamine D_5	202969	hum	2	10 µM	7				
224010	Endothelin ET_A	203091	hum	2	10 µM	-5				
224110	Endothelin ET_B	203092	hum	2	10 µM	2				
225510	Epidermal Growth Factor (EGF)	203167	hum	2	10 µM	-6				
225800	Erythropoietin EPOR	203883	hum	2	10 µM	3				
♦ 226010	Estrogen ERα	202976	hum	2	10 µM	94				
♦ 226050	Estrogen ERβ	202977	hum	2	10 µM	98				
226300	G Protein-Coupled Receptor GPR103	202993	hum	2	10 µM	38				
226230	G Protein-Coupled Receptor GPR8	203470	hum	2	10 µM	1				
226810	$GABA_A$, Chloride Channel, TBOB	203101	rat	2	10 µM	3				
226600	$GABA_A$, Flunitrazepam, Central	203061	rat	2	10 µM	1				
226500	$GABA_A$, Muscimol, Central	203060	rat	2	10 µM	-1				
226610	$GABA_{BIA}$	203158	hum	2	10 µM	-1				
226710	$GABA_{B1B}$	203159	hum	2	10 µM	-6				
230000	Gabapentin	203001	rat	2	10 µM	-17				
231510	Galanin GAL1	203165	hum	2	10 µM	-5				
231600	Galanin GAL2	203166	hum	2	10 µM	-3				
232600	Glutamate, AMPA	203157	rat	2	10 µM	-6				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
♦ Denotes item meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat.#	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% (-100 -50 0 50 100)				
232700	Glutamate, Kainate	203063	rat	2	10 µM	16				
232810	Glutamate, NMDA, Agonism	203064	rat	2	10 µM	24				
232910	Glutamate, NMDA, Glycine	203065	rat	2	10 µM	-5				
233000	Glutamate, NMDA, Phencyclidine	203066	rat	2	10 µM	9				
234000	Glutamate, NMDA, Polyamine	203067	rat	2	10 µM	6				
239000	Glycine, Strychnine-Sensitive	203068	rat	2	10 µM	12				
239300	Growth Hormone Secretagogue (GHS, Ghrelin)	203243	hum	2	10 µM	12				
239610	Histamine H_1	202970	hum	2	10 µM	11				
239710	Histamine H_2	203069	hum	2	10 µM	7				
239810	Histamine H_3	202972	hum	2	10 µM	18				
239900	Histamine H_4	202973	hum	2	10 µM	-1				
241000	Imidazoline I_2, Central	202974	rat	2	10 µM	-13				
242500	Inositol Trisphosphate IP_3	203244	rat	2	10 µM	11				
243000	Insulin	203208	rat	2	10 µM	-2				
250400	Leptin	203317	mouse	2	10 µM	2				
250510	Leukotriene, 8LT (LTB_4)	203353	hum	2	10 µM	-25				
250460	Leukotriene, Cysteinyl $CysLT_1$	203089	hum	2	10 µM	3				
250480	Leukotriene, Cysteinyl $CysLT_2$	203090	hum	2	10 µM	-49				
251100	Melanocortin MC_1	203411	hum	2	10 µM	1				
251300	Melanocortin MC_3	203412	hum	2	10 µM	-5				
251350	Melanocortin MC_4	203413	hum	2	10 µM	3				
251400	Melanocortin MC_5	203414	hum	2	10 µM	8				
251600	Melatonin MT_1	203140	hum	2	10 µM	14				
251700	Melatonin MT_2	203142	hum	2	10 µM	48				
252200	Motilin	203472	hum	2	10 µM	-1				
252610	Muscarinic M_1	202957	hum	2	10 µM	3				
252710	Muscarinic M_2	202958	hum	2	10 µM	-4				
252810	Muscarinic M_3	202959	hum	2	10 µM	0				
252910	Muscarinic M_4	202960	hum	2	10 µM	6				
253010	Muscarinic M_5	202961	hum	2	10 µM	-1				
226100	N-Formyl Peptide Receptor FPR1	203240	hum	2	10 µM	-6				

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EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% (-100 -50 0 50 100)				
226200	N-Formyl Peptide Receptor-Like FPRL1	203241	hum	2	10 µM	-7				
256100	Neuromedin U NMU_1	203473	hum	2	10 µM	8				
256200	Neuromedin U NMU_2	203474	hum	2	10 µM	-5				
257010	Neuropeptide Y Y_1	203093	hum	2	10 µM	7				
257110	Neuropeptide Y Y_2	203094	hum	2	10 µM	6				
258010	Neurotensin NT_1	203318	hum	2	10 µM	-9				
258590	Nicotinic Acetylcholine	202989	hum	2	10 µM	-6				
258700	Nicotinic Acetylcholine α1, Bungarotoxin	202991	hum	2	10 µM	12				
258830	Nicotinic Acetylcholine α7, Bungarotoxin	202990	rat	2	10 µM	2				
260110	Opiate δ (OP1, DOP)	203070	hum	2	10 µM	12				
260210	Opiate κ (OP2, KOP)	203072	hum	2	10 µM	16				
260410	Opiate µ (OP3, MOP)	203074	hum	2	10 µM	-3				
260500	Orphanin ORL_1	203476	hum	2	10 µM	7				
264500	Phorbol Ester	203076	mouse	2	10 µM	-9				
265010	Platelet Activating Factor (PAF)	203007	hum	2	10 µM	9				
265200	Platelet-Derived Growth Factor (PDGF)	202979	mouse	2	10 µM	9				
265500	Potassium Channel [K_A]	203079	rat	2	10 µM	-1				
265600	Potassium Channel [K_{ATP}]	203078	ham	2	10 µM	-6				
265800	Potassium Channel [SK_{Ca}]	203002	rat	2	10 µM	2				
265900	Potassium Channel HERG	202994	hum	2	10 µM	6				
268020	Progesterone PR-B	202992	hum	2	10 µM	15				
268030	Prostanoid CRTH2	203352	hum	2	10 µM	3				
268050	Prostanoid DP	202995	hum	2	10 µM	29				
268200	Prostanoid EP_2	202996	hum	2	10 µM	14				
268410	Prostanoid EP_4	202997	hum	2	10 µM	7				
285510	Prostanoid, Thromboxane A_2 (TP)	203004	hum	2	10 µM	-7				
268700	Purinergic P_{2X}	203306	rabbit	2	10 µM	8				
268810	Purinergic P_{2Y}	202983	rat	2	10 µM	3				
269500	Retinoid X Receptor RXRα	203477	hum	2	10 µM	-2				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
♦ Denotes item meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% -100 -50 0 50 100				
270000	Rolipram	203130	rat	2	10 µM	13				
270300	Ryanodine RyR3	203478	rat	2	10 µM	4				
271110	Serotonin (5-Hydroxytryptamine) 5-HT$_{1A}$	203108	hum	2	10 µM	2				
271200	Serotonin (5-Hydroxytryptamine) 5-HT$_{1B}$	203109	rat	2	10 µM	19				
271700	Serotonin (5-Hydroxytryptamine) 5-HT$_{2B}$	203251	hum	2	10 µM	25				
271800	Serotonin (5-Hydroxytryptamine) 5-HT$_{2C}$	203273	hum	2	10 µM	9				
271910	Serotonin (5-Hydroxytryptamine) 5-HT$_3$	203164	hum	2	10 µM	0				
272000	Serotonin (5-Hydroxytryptamine) 5-HT$_4$	203174	gp	2	10 µM	9				
272100	Serotonin (5-Hydroxytryptamine) 5-HT$_{5A}$	203003	hum	2	10 µM	3				
272200	Serotonin (5-Hydroxytryptamine) 5-HT$_6$	203254	hum	2	10 µM	27				
278110	Sigma σ_1	203082	hum	2	10 µM	1				
278200	Sigma σ_2	203083	rat	2	10 µM	13				
279510	Sodium Channel, Site 2	203084	rat	2	10 µM	12				
282510	Somatostatin sst1	203181	hum	2	10 µM	8				
282700	Somatostatin sst2	203182	hum	2	10 µM	-11				
282530	Somatostatin sst3	203183	hum	2	10 µM	0				
282900	Somatostatin sst4	203184	hum	2	10 µM	12				
283000	Somatostatin sst5	203185	hum	2	10 µM	-11				
255510	Tachykinin NK$_1$	203180	hum	2	10 µM	10				
255600	Tachykinin NK$_2$	203161	hum	2	10 µM	22				
255710	Tachykinin NK$_3$	203162	hum	2	10 µM	0				
285900	Thyroid Hormone	203171	rat	2	10 µM	0				
286000	Thyrotropin Releasing Hormone (TRH)	203259	rat	2	10 µM	1				
286200	Transforming Growth Factor-β (TGF-β)	202980	mouse	2	10 µM	8				
202000	Transporter, Adenosine	203088	gp	2	10 µM	6				
219000	Transporter, Choline	203105	rat	2	10 µM	-13				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
• Data station meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Activity Control Comments
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EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION	IC50	Ki	nH	R
						% -100 -50 0 50 100				
♦ 220310	Transporter, Dopamine (DAT)	203188	hum	2	10 µM	92				
226400	Transporter, GABA	203297	rat	2	10 µM	12				
252010	Transporter, Monoamine	203179	rabbit	2	10 µM	49				
♦ 204410	Transporter, Norepinephrine (NET)	203054	hum	2	10 µM	50				
274030	Transporter, Serotonin (5-Hydroxytryptamine) (SERT)	203055	hum	2	10 µM	15				
286700	Urotensin II	203234	hum	2	10 µM	-16				
286810	Vanilloid	203133	rat	2	10 µM	-3				
286900	Vascular Endothelial Growth Factor (VEGF)	203041	hum	2	10 µM	-3				
287010	Vasoactive Intestinal Peptide VIP_1	203260	hum	2	10 µM	-1				
287530	Vasopressin V_{1A}	203097	hum	2	10 µM	-1				
287560	Vasopressin V_{1B}	203098	hum	2	10 µM	-14				
287610	Vasopressin V_2	203099	hum	2	10 µM	-19				
288000	Vitamin D_3	203096	hum	2	10 µM	-9				

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.
♦ Denotes item meeting criteria for significance
† Results with ≥ 50% stimulation or inhibition are highlighted. (Negative values correspond to stimulation of binding or enzyme activity)
R=Additional Comments
gp=guinea pig; ham=hamster; hum=human

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

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